CLAIMS

1. A compound of the formula:

wherein R^1 is acyl,

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10 R² is lower alkyl, lower alkoxy, lower alkylamino, lower alkenyl, lower alkenyloxy, lower alkenylamino, lower alkynyl, lower alkynyloxy, lower alkynylamino, cyclo(lower)alkyl, cyclo(lower)alkyloxy, cyclo(lower)alkylamino, aryl, aryloxy, arylamino, a heterocyclic group or amino substituted with a heterocyclic group, each of which may be substituted with suitable substituent(s); or acyl;

A is a single bond, -C- or -SO₂-,
E is lower alkylene optionally substituted with
suitable substituent(s),

X is CH or N, $$\rm R^5$$ Y is a single bond, lower alkylene or $\rm N_-$

(wherein R⁵ is hydrogen, lower alkyl, substituted-lower alkyl, an N-protective group, aryl, acyl or a heterocyclic group),

Q is $-CH_2$ -, $-C_-$, $-SO_2$ - or -N=CH-, and R^3 and R^4 are each hydrogen or lower alkyl, or are taken together to form lower alkylene optionally condensed with a cyclic hydrocarbon or a heterocyclic ring,

provided that when X is N,
then 1) Y is a single bond, and

Q is $-CH_2-$, -C- or $-SO_2-$, or

 R_{5}

2) Y is lower alkylene,

and pharmaceutically acceptable salt thereof.

A compound according to claim 1, wherein
 R² is aryl, aryloxy or arylamino, each aryl of which
 may be substituted with halogen; pyridyl; or
 pyridylamino;

A is a single bond,

E is ethylene,

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X is CH or N,

Y is a single bond, lower alkylene or -N- (wherein R^5 is hydrogen, lower alkyl or an N-protective group),

Q is $-CH_2-$, -C- or $-SO_2-$, and R^3 and R^4 are taken together to form ethylene.

- 3. A compound according to claim 2, wherein R¹ is lower alkanoyl, esterified carboxy, substituted or unsubstituted aroyl, lower alkylsulfonyl, substituted or unsubstituted arylsulfonyl, or cyclo(lower)alkylcarbonyl, and R² is aryl or arylamino, each aryl of which may be substituted with halogen.
- 30 4. A compound according to claim 3, wherein R¹ is lower alkanoyl, lower alkoxycarbonyl, aroyl, aroyl substituted with halo(lower)alkoxy, lower alkylsulfonyl, arylsulfonyl, arylsulfonyl substituted with halogen, or cyclo(lower)alkylcarbonyl,

X is CH,
$$$\rm H$$$
 Y is a single bond or $-\rm N-$, and

5. A compound according to claim 3, wherein R¹ is lower alkanoyl, lower alkoxycarbonyl, aroyl, aroyl substituted with halo(lower)alkoxy, lower alkylsulfonyl, arylsulfonyl, arylsulfonyl substituted with halogen, or cyclo(lower)alkylcarbonyl,

X is N,

Y is a single bond or lower alkylene, and

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Q is -C- or -SO₂-.

6. A compound according to claim 4, wherein $\begin{matrix} & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ \end{matrix} \quad \text{, and}$

20 Y is $-N_-$, O Q is $-C_-$.

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- 7. A compound according to claim 5, wherein 25 Y is a single bond, and Q is -C -.
 - 8. A process for preparing a compound of the formula:

wherein R^1 is acyl,

	R ² is lower alkyl, lower alkoxy, lower
	alkylamino, lower alkenyl, lower
	alkenyloxy, lower alkenylamino, lower
	alkynyl, lower alkynyloxy, lower
5	alkynylamino, cyclo(lower)alkyl,
	cyclo(lower)alkyloxy,
	cyclo(lower)alkylamino, aryl, aryloxy,
	arylamino, a heterocyclic group or amino
	substituted with a heterocyclic group,
10	each of which may be substituted with
	suitable substituent(s); or acyl;
	O _{II}
	A is a single bond, $-C$ or $-SO_2$ -,
	E is lower alkylene optionally substituted with
15	suitable substituent(s),
	X is CH or N,
	Y is a single bond, lower alkylene or $-N$ -
,	(wherein R^5 is hydrogen, lower alkyl,
	substituted-lower alkyl, an N-protective
20	group, aryl, acyl or a heterocyclic group),
	Q is $-CH_2-$, $-C$, $-SO_2-$ or $-N=CH-$, and
	R^3 and R^4 are each hydrogen or lower alkyl, or
	are taken together to form lower alkylene
25	optionally condensed with a cyclic
	hydrocarbon or a heterocyclic ring,
	provided that when X is N,
	then 1) Y is a single bond, and
20	Q is $-CH_2-$, $-C-$ or $-SO_2-$, or
30	2) Y is lower alkylene,
	or pharmaceutically acceptable salt thereof,
	which comprises,

35 1) reacting a compound of the formula:

or its salt with a compound of the formula:

$$HO-Q_a-R^2$$
 [III]

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or its reactive derivative at the carboxy or sulfo group, or a salt thereof to provide a compound of the formula:

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$$R^{1}-A-N \xrightarrow{E} N-Q_{a}-R^{2}$$

$$R^{3} R^{4}$$
[Ia]

or its salt, in the above formulas, R^1 , R^2 , R^3 , R^4 , A and E are each as defined above, and Q_a is -C or $-SO_2$ -, or

2) reacting a compound of the formula:

or its salt with a compound of the formula:

$$R^{6}-NCO$$
 [IV]

to provide a compound of the formula:

or its salt, in the above formulas,

R¹, R³, R⁴, A and E are each as defined above, and

R⁶ is aryl which may be substituted with suitable

substituent(s); or pyridyl, or

3) reacting a compound of the formula:

or its salt with a compound of the formula:

$$HO-Q_a-R^2$$
 [III]

or its reactive derivative at the carboxy or sulfo group, or a salt thereof to provide a compound of the formula:

$$R^{1}-A-N$$
 $CH-NH-Q_{a}-R^{2}$
[Ic]

or its salt, in the above formulas, ${\bf R}^1, \ {\bf R}^2, \ {\bf R}^3, \ {\bf R}^4, \ {\bf A}, \ {\bf E} \ {\rm and} \ {\bf Q}_a \ {\rm are \ each \ as \ defined \ above,}$ or

30 4) reacting a compound of the formula:

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or its salt with a compound of the formula:

$$R^{6}$$
-NCO [IV]

5 to provide a compound of the formula:

$$R^{1}-A-N$$
 $CH-NHCNH-R^{6}$
[Id]

- or its salt, in the above formulas, R^1 , R^3 , R^4 , R^6 , A and E are each as defined above, or
 - 5) reacting a compound of the formula:

or its salt with a compound of the formula:

$$R^{1}$$
-A-OH [VII]

or its reactive derivative at the carboxy or sulfo group, or a salt thereof to provide a compound of the formula:

- or its salt, in the above formulas, ${\bf R}^1, \ {\bf R}^2, \ {\bf R}^3, \ {\bf R}^4, \ {\bf A}, \ {\bf E}, \ {\bf X}, \ {\bf Y} \ {\bf and} \ {\bf Q} \ {\bf are} \ {\bf each} \ {\bf as} \ {\bf defined}$ above, or
 - 6) reacting a compound of the formula:

or its reactive derivative at the carboxy or sulfo group, or a salt thereof with a compound of the formula:

$$H_2N-R^7$$
 [IX]

or its salt to provide a compound of the formula:

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$$R^{1-A-N} \xrightarrow{E} X_{-Q_{a}-NH-R}^{7}$$
 [Ie]

or its salt, in the above formulas, ${\rm R}^1, \ {\rm R}^3, \ {\rm R}^4, \ {\rm A, \ E, \ X \ and \ Q}_a \ are \ {\rm each \ as \ defined \ above,}$ and

R⁷ is lower alkyl, lower alkenyl, lower alkynyl, cyclo(lower)alkyl, aryl or a heterocyclic group, each of which may be substituted with suitable substituent(s), or

7) reacting a compound of the formula:

or its salt with a compound of the formula:

$$R_a^2 - Q_b - Z_a$$
 [XI]

30 to provide a compound of the formula:

$$\begin{array}{c|c}
R^{5} \\
R^{1-A-N} \xrightarrow{E} CH-N-Q_b-R_a^2 \\
R^{3} & R^{4}
\end{array} [If]$$

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or its salt, in the above formulas, R^1 , R^3 , R^4 , A and E are each as defined above, R^5_a is an N-protective group, R^2_a is lower alkyl, lower alkenyl, lower alkynyl, cyclo(lower)alkyl, aryl or a heterocyclic group,

cyclo(lower)alkyl, aryl or a heterocyclic group each of which may be substituted with suitable substituent(s),

 Q_b is $-CH_2-$, -C-, $-SO_2-$, and Z_a is an acid residue, or

8) subjecting a compound of the formula:

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$$R^{1}-A-N$$
 $CH-N-Qb-R_{a}^{2}$ [If]

or its salt to elimination reaction of the N-protective group to provide a compound of the formula:

$$R^{1}-A-N \xrightarrow{E} CH-N-Qb-R_{a}^{2}$$
 [Ig]

or its salt, in the above formulas, $R^1, R_a^2, R^3, R^4, A, E \text{ and } Q_b, \text{ are each as defined above,}$ or

9) reacting a compound of the formula:

$$R^{1}-A-N \xrightarrow{E} CH-NH-Qc-R_{a}^{2}$$
 [Ih]

or its salt with a compound of the formula:

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$$R_b^5 - Z_b$$
 [XII]

to provide a compound of the formula:

or its salt, in the above formulas, ${\rm R}^1,~{\rm R}^2_a,~{\rm R}^3,~{\rm R}^4,~{\rm A}$ and E are each as defined above, ${\rm Z}_b$ is an acid residue,

 Q_{C} is L_{-}^{0} , and R_{b}^{5} is lower alkyl, or

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15 10) reacting a compound of the formula:

$$R^{1-A-N} \xrightarrow{E}_{NH}$$
 R^{3}
 R^{4}
[II]

or its salt with a compound of the formula:

$$Z_c - Y_a - Q_a - R^2$$
 [XIII]

to provide a compound of the formula:

or its salt, in the above formulas, $R^1,\ R^2,\ R^3,\ R^4,\ A,\ E\ and\ Q_a\ are\ each\ as\ defined\ above,$ $Z_C\ is\ an\ acid\ residue,\ and$ $Y_a\ is\ lower\ alkylene.$

A pharmaceutical composition comprising a compound of
 claim 1, as an active ingredient, in association with a

pharmaceutically acceptable, substantially non-toxic carrier or excipient.

10. A compound of claim 1 for use as a medicament.

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- 11. A method for therapeutic treatment and/or prevention of amnesia or dementia which comprises administering an effective amount of a compound of claim 1 to mammals.
- 10 12. Use of a compound of claim 1 for manufacture of a medicament for treating and/or preventing amnesia or dementia in mammals.

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